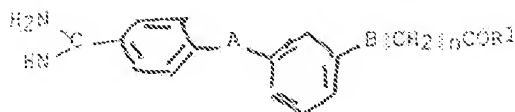


TI Preparation of benzamidine derivatives as fibrinogen antagonists and platelet aggregation inhibitors
 ACCESSION NUMBER: 1994:134060 CAPLUS
 DOCUMENT NUMBER: 120:134060
 TITLE: Preparation of benzamidine derivatives as fibrinogen antagonists and platelet aggregation inhibitors
 INVENTOR(S): Sato, Masakazu; Kawase, Masahiro; Mannaka, Akira; Kawashima, Yutaka; Hatayama, Katsuo
 PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF
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 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05230009	A2	19930907	JP 1992-35479	19920224
PRIORITY APPLN. INFO.:			JP 1992-35479	19920224
OTHER SOURCE(S):			MARPAT 120:134060	
GI				



AB The title derivs. I (A = CONH, CH2O; n = 1-3; R1 = OH, NH2, OCH2CONR2, lower alkoxy; R2 = lower alkyl; when A is CONH then B is CH2S or NHCO; when A is CH2O then B is CH2S, CONH, or NHCO), and their pharmaceutically acceptable salts are preparation. The title derivs. II (R3

OH, lower alkoxy; n = 1-3), and their pharmaceutically acceptable salts are preparation. A mixture of 15 g Me 3-[3-[4-amidinocarbonylbenzyloxy]benzamide]propionate, methylation of which gave 17 g Me 3-[3-[4-methylthioaminobenzyloxy]benzamide]propionate-hydroiodide (IIf). Refluxing a mixture of 16.8 g IIf, NH4MeCO2 in MeOH for 1 h gave 10 g Me 3-[3-[4-amidinobenzyloxy]benzamide]propionate-hydroiodide. 3-[3-[5-(4-Amidinobenzamide)thiophen-2-yl]carbonylamino]propionic acid-hydrochloride showed PAF [platelet-activating factor] inhibition in dog with an IC50 of 0.16 µM.

IT 153038-93-8P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in benzamidines manufacture)
 RN 153038-93-8 CAPLUS
 CN β-Alanine, N-[[5-[[4-cyanobenzoyl]amino]-2-thienyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

